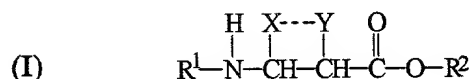


## CLAIMS

What is claimed is:

1. A  $\beta$ -amino acid selected from the group consisting of

Formula I:



wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted or unsubstituted  $C_4$ - $C_8$  cycloalkyl, cycloalkenyl or heterocyclic ring having one or more nitrogen atoms as the sole heteroatom;

the substituents on carbon atoms of the rings being independently selected from the group consisting of linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteroaryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- $C_1$ - $C_6$ -alkyl, mono- or bicyclic heteroaryl- $C_1$ - $C_6$ -alkyl,  $-(CH_2)_{n+1}-OR^4$ ,  $-(CH_2)_{n+1}-SR^4$ ,  $-(CH_2)_{n+1}-S(=O)-CH_2-R^4$ ,  $-(CH_2)_{n+1}-S(=O)_2-CH_2-R^4$ ,  $-(CH_2)_{n+1}-NR^4R^4$ ,  $-(CH_2)_{n+1}-NHC(=O)R^4$ ,  $-(CH_2)_{n+1}-NHS(=O)_2-CH_2-R^4$ ,  $-(CH_2)_{n+1}-O-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-S-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-S(=O)-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-S(=O)_2-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-NH-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-N-\{(CH_2)_m-R^5\}_2$ ,  $-(CH_2)_{n+1}-NHC(=O)-(CH_2)_{n+1}-R^5$ , and  $-(CH_2)_{n+1}-NHS(=O)_2-(CH_2)_m-R^5$ ;

wherein  $R^4$  is independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl, alkenyl, or alkynyl;

mono- or bicyclic aryl, mono- or bicyclic heteraryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl-C<sub>1</sub>-C<sub>6</sub>-alkyl, mono- or bicyclic heteroaryl-C<sub>1</sub>-C<sub>6</sub>-alkyl; and

wherein R<sup>5</sup> is selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkyloxy, aryloxy, heteroaryloxy, thio, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, arylthio, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, amino, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, mono- or diarylamino, mono- or diheteroarylamino, N-alkyl-N-arylamino, N-alkyl-N-heteroarylamino, N-aryl-N-heteroarylamino, aryl-C<sub>1</sub>-C<sub>6</sub>-alkylamino, carboxylic acid, carboxamide, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylcarboxamide, mono- or diarylcarboxamide, mono- or diheteroarylcarboxamide, N-alkyl-N-arylcarboxamide, N-alkyl-N-heteroarylcarboxamide, N-aryl-N-heteroarylcarboxamide, sulfonic acid, sulfonamide, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonamide, mono- or diarylsulfonamide, mono- or diheteroarylsulfonamide, N-alkyl-N-arylsulfonamide, N-alkyl-N-heteroarylsulfonamide, N-aryl-N-heteroarylsulfonamide, urea; mono- di- or tri-substituted urea, wherein the substituent(s) is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, aryl, heteroaryl; O-alkylurethane, O-arylurethane, and O-heteroarylurethane; and

m is an integer of from 2-6 and n is an integer of from

0-6;

the substituents on heteroatoms of the ring being independently selected from the group consisting of -S(=O)<sub>2</sub>-CH<sub>2</sub>-R<sup>4</sup>

$-\text{C}(=\text{O})-\text{R}^4-\text{S}(=\text{O})_2-(\text{CH}_2)_m-\text{R}^5$ , and  $-\text{C}(=\text{O})-(\text{CH}_2)_{n+1}-\text{R}^5$ ; wherein  $\text{R}^4$  and  $\text{R}^5$  are as defined hereinabove, and  $m$  is an integer of from 2-6 and  $n$  is an integer of from 0-6;

provided that when X & Y together with the carbons to which they are bonded define a five- or six-membered cycloalkyl or a five-membered heterocyclic ring having one nitrogen as the sole heteroatom, and the nitrogen is bonded to a carbon atom adjacent to the carboxy carbon of Formula I, the cycloalkyl or heterocyclic ring is substituted;

$\text{R}^1$  is selected from the group consisting hydrogen and an amino protecting group;

$\text{R}^2$  is selected from the group consisting of hydrogen and a carboxy protecting group;

racemic mixtures thereof, isolated or enriched enantiomers thereof;  
isolated or enriched diastereomers thereof;  
and salts thereof.

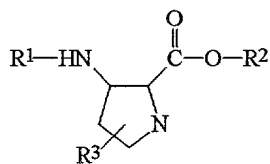
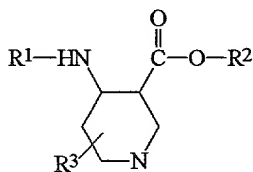
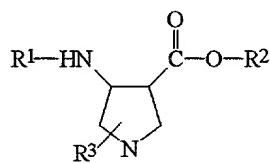
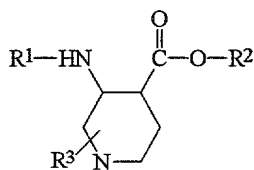
2. The  $\beta$ -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a moiety selected from the group consisting of a substituted cycloalkyl, a substituted or unsubstituted  $\text{C}_4\text{-C}_6$  cycloalkenyl, and a substituted or unsubstituted heterocyclic ring having one nitrogen atom as the sole hetero atom.

3. The  $\beta$ -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted or unsubstituted cyclopentenyl, cyclohexenyl, pyrrolidinyl, or piperidinyl ring.

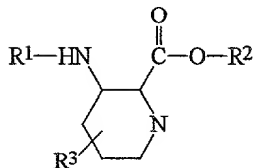
4. The  $\beta$ -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted cyclopentyl, cyclohexyl, cyclopentenyl, cyclohexenyl, pyrrolidinyl, or piperidinyl ring, wherein the substituent is selected from the group consisting of amino, mono- or di- $C_1$ - $C_6$ -alkylamino, carboxamido, sulfonamido, urea, thio, and  $C_1$ - $C_6$ -alkylthio.

5. The  $\beta$ -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define an amino-substituted cyclopentyl, cyclohexyl, cyclopentenyl, amino-substituted cyclohexenyl, amino-substituted pyrrolidinyl, or amino-substituted piperidinyl ring.

6. A  $\beta$ -amino acid selected from the group consisting of:



and



$R^1$  is selected from the group consisting hydrogen and an amino protecting group;

$R^2$  is selected from the group consisting of hydrogen and a carboxy protecting group; and

when  $R^3$  is bonded to a carbon atom,  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteroaryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- $C_1$ - $C_6$ -alkyl, mono- or bicyclic heteroaryl- $C_1$ - $C_6$ -alkyl,  $-(CH_2)_{n+1}-OR^4$ ,  $-(CH_2)_{n+1}-SR^4$ ,

$-(CH_2)_{n+1}-S(=O)-CH_2-R^4$ ,  $-(CH_2)_{n+1}-S(=O)_2-CH_2-R^4$ ,  
 $-(CH_2)_{n+1}-NR^4R^4$ ,  $-(CH_2)_{n+1}-NHC(=O)R^4$ ,  $-(CH_2)_{n+1}-NHS(=O)_2-$   
 $CH_2-R^4$ ,  $-(CH_2)_{n+1}-O-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-S-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-$   
 $S(=O)-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-S(=O)_2-(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-NH-$   
 $(CH_2)_m-R^5$ ,  $-(CH_2)_{n+1}-N-\{(CH_2)_m-R^5\}_2$ ,  $-(CH_2)_{n+1}-NHC(=O)-$   
 $(CH_2)_m-R^5$ , and  $-(CH_2)_{n+1}-NHS(=O)_2-(CH_2)_m-R^5$ ;

wherein  $R^4$  is independently selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteraryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- $C_1$ - $C_6$ -alkyl, mono- or bicyclic heteroaryl- $C_1$ - $C_6$ -alkyl; and

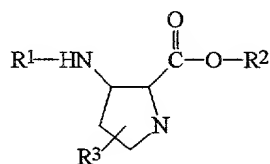
wherein  $R^5$  is selected from the group consisting of hydroxy,  $C_1$ - $C_6$ -alkyloxy, aryloxy, heteroaryloxy, thio,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -alkylsulfinyl,  $C_1$ - $C_6$ -alkylsulfonyl, arylthio, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, amino, mono- or di- $C_1$ - $C_6$ -alkylamino, mono- or diarylamino, mono- or diheteroarylamino, N-alkyl-N-arylamino, N-alkyl-N-

heteroaryl-amino, N-aryl-N-heteroaryl-amino, aryl-C<sub>1</sub>-C<sub>6</sub>-alkyl-amino, carboxylic acid, carboxamide, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylcarboxamide, mono- or diarylcarboxamide, mono- or diheteroarylcarboxamide, N-alkyl-N-arylcarboxamide, N-alkyl-N-heteroarylcarboxamide, N-aryl-N-heteroarylcarboxamide, sulfonic acid, sulfonamide, mono- or di-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonamide, mono- or diarylsulfonamide, mono- or diheteroarylsulfonamide, N-alkyl-N-arylsulfonamide, N-alkyl-N-heteroarylsulfonamide, N-aryl-N-heteroarylsulfonamide, urea; mono- di- or tri-substituted urea, wherein the substituent(s) is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl, aryl, heteroaryl; O-alkylurethane, O-arylurethane, and O-heteroarylurethane; and

m is an integer of from 2-6 and n is an integer of from 0-6; and

when R<sup>3</sup> is bonded to a nitrogen atom, R<sup>3</sup> is independently selected from the group consisting of those listed above for when R<sup>3</sup> is attached to a carbon atom, and further selected from the group consisting of -S(=O)<sub>2</sub>-CH<sub>2</sub>-R<sup>4</sup>, -C(=O)-R<sup>4</sup>-S(=O)<sub>2</sub>-(CH<sub>2</sub>)<sub>m</sub>-R<sup>5</sup>, and -C(=O)-(CH<sub>2</sub>)<sub>n+1</sub>-R<sup>5</sup>; wherein R<sup>4</sup> and R<sup>5</sup> are as defined hereinabove, and m is an integer of from 2-6 and n is an integer of from 0-6;

provided that when the β-amino acid is of formula



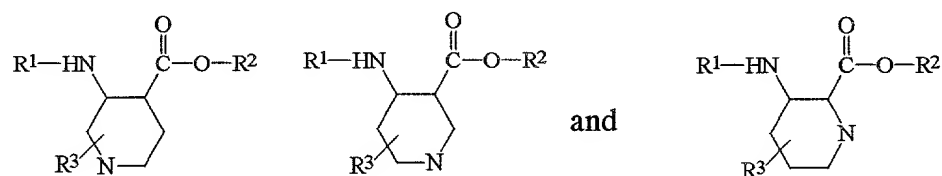
R<sup>3</sup> is not hydrogen;

racemic mixtures thereof, isolated or enriched enantiomers thereof;  
isolated or enriched diastereomers thereof;  
and salts thereof.

7. The  $\beta$ -amino acid according to Claim 6, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

8. The  $\beta$ -amino acid according to Claim 6, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

9. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:

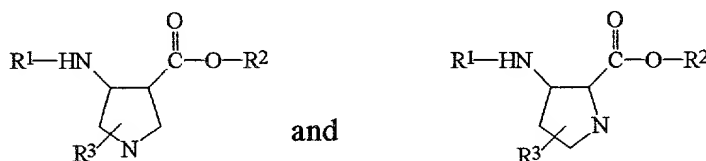


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

10. The  $\beta$ -amino acid according to Claim 9, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

11. The  $\beta$ -amino acid according to Claim 9, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

12. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:

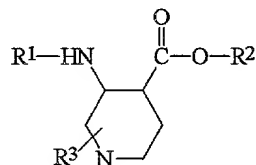


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

13. The  $\beta$ -amino acid according to Claim 12, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

14. The  $\beta$ -amino acid according to Claim 12, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

15. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:



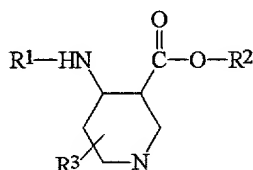


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

16. The  $\beta$ -amino acid according to Claim 15, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

17. The  $\beta$ -amino acid according to Claim 15, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

18. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:

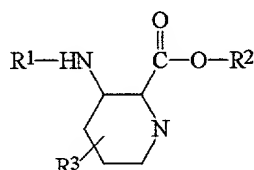


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

19. The  $\beta$ -amino acid according to Claim 18, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

20. The  $\beta$ -amino acid according to Claim 18, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

21. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:

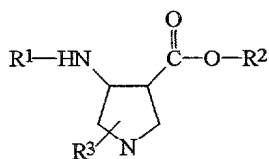


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

22. The  $\beta$ -amino acid according to Claim 21, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

23. The  $\beta$ -amino acid according to Claim 21, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

24. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:

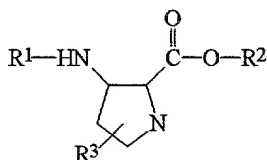


wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

25. The  $\beta$ -amino acid according to Claim 24, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

26. The  $\beta$ -amino acid according to Claim 24, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.

27. The  $\beta$ -amino acid according to Claim 6, selected from the group consisting of:



wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined in Claim 6.

28. The  $\beta$ -amino acid according to Claim 27, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, hydroxy- $C_1$ - $C_6$ -alkyl, amino- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkyloxy,  $C_1$ - $C_6$ -alkyloxy- $C_1$ - $C_6$ -alkyl, amino, and mono- or di- $C_1$ - $C_6$ -alkylamino.

29. The  $\beta$ -amino acid according to Claim 27, wherein  $R^3$  is selected from the group consisting of hydrogen, hydroxy, linear or branched  $C_1$ - $C_6$ -alkyl, alkenyl, alkynyl, and hydroxy- $C_1$ - $C_6$ -alkyl.